10/790,498C> 05/28/2007

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FILE 'HOME' ENTERED AT 18:52:05 ON 28 MAY 2007

=> file reg

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 27 MAY 2007 HIGHEST RN 935984-33-1 DICTIONARY FILE UPDATES: 27 MAY 2007 HIGHEST RN 935984-33-1

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http://www.cas.org/support/stngen/stndoc/properties.html

Uploading C:\Program Files\Stnexp\Queries\10790498.str

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chain nodes :
18 19 20 21 23
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
chain bonds :
4-7 8-12 10-18 18-19 18-20 19-23
ring bonds :
1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 7-8 \quad 7-11 \quad 8-9 \quad 9-10 \quad 10-11 \quad 12-13 \quad 12-17 \quad 13-14
14-15 15-16 16-17
exact/norm bonds :
4-7 7-8 7-11 8-9 9-10 10-11 18-19 18-20 19-23
exact bonds :
8-12 10-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-17 13-14 14-15 15-16 16-17
isolated ring systems :
containing 1 : 7 : 12 :
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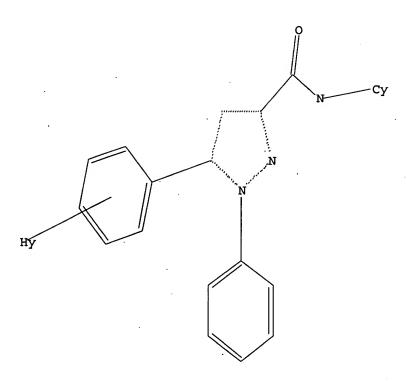
# Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS 20:CLASS 21:Atom 22:Atom 23:Atom

### L1 STRUCTURE UPLOADED

=> d L1 HAS NO ANSWERS L1 STR

SAEED



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 18:52:37 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 260 TO ITERATE

100.0% PROCESSED

260 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH

\*\*COMPLETE\*\* 4233 TO 6167

PROJECTED ITERATIONS: PROJECTED ANSWERS:

2 TO

124

2 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 18:52:50 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -

4793 TO ITERATE

100.0% PROCESSED

4793 ITERATIONS

32 ANSWERS

SEARCH TIME: 00.00.01

L3

32 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST 172.10 172.31

FILE 'CAPLUS' ENTERED AT 18:52:56 ON 28 MAY 2007

SAEED ·

10/790,498C> 05/28/2007

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FILE COVERS 1907 - 28 May 2007 VOL 146 ISS 23 FILE LAST UPDATED: 27 May 2007 (20070527/ED)

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=> s 13 L4 4 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2006:1338335 CAPLUS DOCUMENT NUMBER: 146:81857

Preparation of pyrazole derivatives as cannabinoid receptor modulators for treating metabolic disorders TITLE: receptor modulators for treating metabolic disorders and obesity
Amengual, Remi: Marsol, Claire; Mayeux, Eric; Sierra, Michael; Wagner, Patrick
Carex SA, Fr.
PCT Int. Appl., 70pp.
CODEN: PIXXD2
Patent

INVENTOR (S):

PATENT ASSIGNEE (S):

DOCUMENT TYPE:

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. DATE DATE WO 2006133926

W: AE, AG, AL,
CN, CO, CR,
GE, GH, GM,
KZ, LA, LC,
MX, MZ, NA,
SE, SG, SX,
VC, VN, YU,
RW: AT, BE, BG,
IS, IT, LT,
CF, CG, CI,
GM, KE, LS,
PRIORITY APPLN. INFO.: AI 20061221 W0 2006-EP5726

AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY,
CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES,
CH, HU, DI, II, IN, IS, JP, KE, KG, DM,
LK, LR, LS, LT, LU, LV, LY, MA, MD, MG,
NG, NI, NO, NZ, OM, PG, PH, PT, PT, RO,
SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA,
ZA, ZM, ZW,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB,
LU, LV, MC, NL, PL, PT, RO, SE, SI, SK,
CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
NM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
RU, TJ, TM

EF 2005-360022 P 20060614 BZ, CA, CH, FI, GB, GD, KN, KP, KR, MK, MN, MW, RU, SC, SD, UG, US, UZ, GR, TR, TG, AM,

EP 2005-360032 A 20050926

EP 2005-360047 A 20051124

OTHER SOURCE(S):

MARPAT 146:81857

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Compds. of formula (I), are cannabinoid CB1 receptors, useful, inter alia in the treatment of obesity: wherein AI = H, CO2H, tetrazoly1; A2 = H, CO2H, tetrazoly1; CN, CF3, etc.; p = 0, 1; A3 = (un)substituted Ph, cycloalky1; q = 0, 1; R1 = bond, (CH2)aB1(CH2)b; a, b = 0-3, B1 = CO, O, S, etc.; R2 = bond, (CH2)aB1(CH2)b; (CH2)aB1(CH2)b)n-A4-[(CH2)cB1(CH2)d]m; c, d = 0-3; n, m = 0, 1; A4 = a (un)substituted monocarbocyclic or monoheterocyclic ring; R3 = H, C1-C4 alky1, cycloalky1, etc.; R4, R5 = CN,

halo, substituted alkylamino, etc. Preparation of I is exemplified. For example, II was prepared from III by transformation of brome to sulfonamide,

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2006:44444 CAPLUS DOCUMENT NUMBER: 144:468162

Preparation of arylpyrazolecarboxamides as CB1 TITLE:

cannabinoid receptor antagonists.
Makriyannis, Alexandros; Liu, Qian; Thotapally, INVENTOR (S):

Rajesh PATENT ASSIGNEE(S):

SOURCE:

U.S. Pat. Appl. Publ., 46 pp., Cont.-in-part of U.S. Ser. No. 790, 498.
CODEN: USXXCO

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English

PATENT NO. KIND DATE APPLICATION NO. DATE 20060511 20050624 US 2006100208 WO 2001029007 A1 A1 US 2005-166835 WO 2000-US41239 US 200610208 A1 20060511 US 2005-166835
W0 2001029007 A1 20010426 W0 2000-US41239
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CR, CU, CZ, DE, DK, DM, DZ, EZ, ES, FI, GB, GD, GE, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LU, LV, MA, MD, MG, MK, MN, MM, MK, MZ, MZ, LC, LK, SD, SE, SG, SI, SK, SL, TJ, TH, TR, TT, TZ, UA, UG, YU, ZA, ZW
RN: GH, GH, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, DE, DK, ES, FI, FR, GB, GR, IE, TT, LU, MC, NL, PT, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
W0 2003020217 A2 20030313 W0 2002-US27644
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, MD, MG, MK, HM, MX, ND, ND, ZP, LP, TR, OR, MG, MK, HM, MX, ND, ND, ZP, LP, TR, OR, US, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, RW; GH, CH, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, YU, KG, KZ, MD, RU, TJ, TM, TR, TB, EB, GC, CH, CY, CZ, DE, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, CG, CI, CH, GA, NG, GQ, GW, ML, MR, NZ, SD, ST, TD, TG
US 2004192667 A1 20040506 W0 2004-796498 AU 2004-201292
PRIORITY APPLN. INFO:: 20010426 20001018 5 WO 2000-US41239 20001018 BA, BB, BG, BR, BY, BZ, CA, CH, CN, EE, ES, FI, GB, GD, GE, GH, GM, HR, KG, KP, KR, KZ, LC. LK, LR, LS, LT, HM, MX, MZ, NO, NZ, PL, PT, RO, RU, TM, TR, TT, TZ, UA, UG, US, UZ, VN, CN, CR, CU, HU, ID, IL, LU, LV, MA, SE, SG, SI, ZA, ZW AM, AZ, BY, DK, EE, ES, BF, BJ, CF, WO 2000-US41239 20001018 US 2001-316515P 20010831 WO 2002-US27644 A2 20020829 US 2002-110865 A2 20021021 US 2004-790498 A2 20040301 AU 2002-331766 A3 20020829

OTHER SOURCE(S):

MARPAT 144:468162

#### 05/28/2007

ANSWER 1 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) hydrolysis of the sulfonemide compd. followed by coupling to 4-minobutyric acid Me ester and hydrolysis again. The receptor functional activities of I were evaluated in vitro by measuring their ability to inhibit CP55940-induced GTPy5-Zu binding to membranes prepd. from Sf9 cells expressing the human CB1 receptor and the G protein alphai3, betal and gamma2 subunits. Most of the compds. of the invention had Ki values of CluM in the above assay.

917080-47-8P, [4-[[1-(2-chlorophenyl)-4-methyl-5-[4-(2-methyl-2H-tetrazol-5-yl)phenyl]-lH-pyrazol-3-yl]carbonyl]amino]phenyl]acetic acid RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrazole derivs. as cannabinoid

ptor modulators)
917080-47-8 CAPLUS
Benzeneacetic acid, 4-[{[1-{2-chlorophenyl}-4-methyl-5-{4-{2-methyl-2H-tetrazol-5-yl}phenyl}-1H-pyrazol-3-yl]carbonyl]amino}- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Title compds. [I; A = bond, O, (CH2)0-1NR6; R6 = H, alkyl; B = N, O; R5 = null, H, (substituted) alkyl; R1 = (substituted) carbon chain, (CH2)nZ; n = 0-7; Z = H, halo, N3, NCS, cyano, NO2, amino, etc.; R2 = carbocyclyl, heterocyclyl, aryl, heterocyclyl, aryl, heterocyclyl, aryl, heterocyclyl, aryl, heterocyclyl, chain, N3, NCS, Cyano, NO2, amino, aroyl, OAc, SO3H, etc.; R4 = (CH2)nZ; Z = H halo, N3, NCS, cyano, NO2, amino, OAc, acyloxy, etc.], were prepared Thus, title compound (II) showed CB1 receptor affinity with ICSO = 1.2

Thus, title compound (II) showed CB1 receptor affinity with IC50 = 1
nM.

IT 501423-34-3 501423-35-4 501423-36-5
501423-47-8 501423-48-9 501423-49-0
501423-50-3 765953-27-3 765953-28-4
765953-29-5 765953-30-8 765953-31-9
765953-29-5 765953-33-1 765953-37-5
765953-38-6 765953-33-7 765953-37-5
765953-38-6 765953-38-7 765953-40-0
765953-40-1 765953-36-7 765953-40-0
765953-40-9 765953-50-2 765953-51-3
765953-63-7 765953-63-9
RL: PRC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological autody); USES (Uses) (preparation of arylpyrazolecarboxamides as cannabinoid receptor antagonists)
RN 501423-34-3 CAPLUS
CN 1H-Pyrazole-3-carboxamide,
1-(2,4-dichlorophenyl)-4-methyl-M-1-piperidinyl-5-[4-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 501423-35-4 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-N-1-piperidinyl-5-[4-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 501423-36-5 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 1-(2,4-dichlorophenyl)-4-(fluoromethyl)-N-1-piperidinyl-5-[4-(3-pyridinyl)phenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued

RN 501423-49-0 CAPLUS
CN: 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(methoxymethyl)-5-(4-(4-pyridinyl)phenyl)- (9CI) (CA INDEX NAME)

RN 501423-50-3 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4-(methoxymethyl)-5-(4-(2-pyridinyl)phenyl)- (9CI) (CA INDEX NAME)

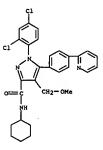
### 05/28/2007

4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 501423-47-8 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(methoxymethyl)-5-(4-3-thlenyl)phenyl)- (9CI) (CA INDEX NAME)

RN 501423-48-9 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(methoxymethyl)-5-[4-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 765953-27-3 CAPLUS CN 1H-Pyrazole-3-carboxamide, 1-(2,4-dichlorophenyl)-4-methyl-N-1-piperidinyl-5-[4-(1H-tetrazol-5-yl)phenyl)- (9CI) (CA INDEX NAME)

RN 765953-28-4 CAPLUS
CN 1H-Pyrezole-3-carboxamide,
1-(2,4-dichlorophenyl)-4-methyl-5-(4-(1-methyl-1H-tetrazol-5-yl)phenyl)-N-1-piperidinyl- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-29-5 CAPLUS
CN 1H-Pyrazole-3-carboxamide,
1-(2,4-dichlorophenyl)-4-mathyl-5-[4-(2-methyl-2H-tetrazol-5-yl)phenyl]-N-1-piperidinyl- (9CI) (CA INDEX NAME)

RN 765953-30-8 CAPLUS ...
CN 1H-Pyrazole-3-carboxamide, 1-{2,4-dichlorophenyl}-4-{hydroxymethyl}-N-1-piperidinyl-5-{4-{1H-tetrazol-5-yl}phenyl}- {9CI} (CA INDEX NAME)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued

RN 765953-33-1 CAPLUS CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4-methyl-5-[4-(1-methyl-1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 765953-34-2 CAPLUS
CN 1H-Pyrazole-3-carboxamide,
N-cyclohexyl-1-(2,4-dichlorophenyl)-4-methyl-5[4-(2-methyl-2H-tetrazol-5-yl)phenyl}- (9CI) (CA INDEX NAME)

05/28/2007

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-31-9 CAPLUS
CN 1H-Pyrazole-3-catboxamide, 1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-5-[4-(1-methyl-1H-tetrazol-5-yl)phenyl]-N-1-piperidinyl- (9CI) (CA INDEX NAME)

RN 765953-32-0 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-5-[4-(2-methyl-2H-tetrazol-5-yl)phenyl]-N-1-piperidinyl- (9CI) (CA INDEX

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-35-3 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-5-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued

RN 765953-37-5 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(hydroxymethyl)-5-[4-(2-methyl-2H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 765953-38-6 CAPLUS

CN 1H-Fyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-N,4-dimethyl-5-(4-(1H-tetrazol-5-yl)phenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-41-1 CAPLUS

(N IH-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-N-methyl-5-[4-(lH-tetrazol-5-yl)phenyl)-(9CI) (CA INDEX NAME)

RN 765953-42-2 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(hydroxymethyl)-N-methyl-5-(4-(1-methyl-1H-tetrazol-5-yl)phenyl)- (9CI)
(CA INDEX NAME)

05/28/2007

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-39-7 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-N,4-dimethyl-5-[4-(1-methyl-1H-tetrazol-5-yl)phenyl)- (9CI) (CA INDEX NAME)

RN 765953-40-0 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-N,4dimethyl-5-[4-(2-methyl-2H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-43-3 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(hydroxymethyl)-N-methyl-5-[4-(2-methyl-2H-tetrazol-5-yl)phenyl]- (9CI)
(CA INDEX NAME)

RN 765953-49-9 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-N-methyl-5-[4-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

#### L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

# 765953-50-2 CAPLUS 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-N-methyl-5-(4-(4-pyridinyl)phenyl)- (9CI) (CA INDEX

# 765953-51-3 CAPLUS 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-N,4-dimethyl-5-[4-(4-pyridinyl)phenyl)- (9CI) (CA INDEX NAME)

### 05/28/2007 -

#### ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

# 765953-63-7 CAPLUS 1H-Pyrazole-3-carboxamide, 1-[2-chloro-4-(3-pyridinyl)phenyl]-4-(hydroxymethyl)-N-1-piperidinyl-5-[4-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

765953-64-8 CAPLUS 1H-Pyrazole-3-carboxamide, 1-[2-chloro-4-(3-pyridinyl)phenyl]-4-(hydroxymethyl)-N-1-piperidinyl-5-(4-(3-pyridinyl)phenyl)-, dihydrochloride (9CI) (CA INDEX NAME)

# ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

RN 765953-65-9 CAPLUS
CN 1H-Pytazole-3-carboxamide, 1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-N-1piperidinyl-5-[4-(3-pyridinyl)phenyl]-, monohydrochloride (9CI) (CA
INDEX NAME)

L4 ANSWER 3 OF 4
ACCESSION NUMBER:
DOCUMENT NUMBER:
1111LE:
2004:802554 CAPLUS
141:314321
A preparation of novel pyrazole derivatives with high affinities and selectivities for the CB1 cannabinoid receptor Makriyannis, Alexandros; Liu, Qian; Thotapally, University of Connecticut, USA
U.S. Pat. Appl. Publ., 41 pp., Cont.-in-part of Appl.
No. PCT/USO2/27644.
CODEN: USXXCO
Patent

Rajesh PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: English FAMILY ACC. NUM. COUNT:

PATENT NO.					KIND		DATE			APPLICATION NO.					DATE			
US 2004192667					B.1		20040930			US :	2004-	7904	98		2	0040	301	
WO	WO 2003020217			A2			20030313			WO 2002-US27644			20020829					
WO 2003020217					A3		2003	0821							_			
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EP									EP 2005-251224									
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US	20060	305	63		A1		2006	0209		US :	2005-	2447	70 V		2	0051	006	
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										US 2	2002-	1108	65 (	/	<b>A2</b> 2	0021	021	
											2004-							

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
AB The invention relates to a preparation of novel pyrazole derivs. of
formula I
[wherein: A is a direct bond, O, or -(CH2)0-1NH-, etc.; B is N or O; R1

-(CH2)0-7-Z; Z and R2 are independently selected from carbocyclic, heterocyclic, (hetero)aromatic, bicyclic, or tricyclic rings, etc.; R3

heterocyclic, (neterofatuments, 22, 22, 23, 24)

is Me,
CH2N3, CH2NCS, Bn, or CH2NO2, etc.; R4 is -(CH2)0-7-X; X is H, halogen,
NCS, CN, NO2, or OAc, etc.; R5 is present only when B is N, R5 is H or
alkyl) with high affinities and selectivities for the CB1 cannabinoid
receptor. For instance, for pyrazole derivative II the CB1 cannabinoid
receptor binding affinity (Ki) was 1.5 whereas for CB2 receptor it was
741

receptor binding affinity (Ki) was 1.5 whereas for CBZ receptor it was

(CBl receptor selectivity was 491).
501423-34-3P 501423-35-4P 501423-36-5P
501423-47-8P 501423-48-9P 501423-49-0P
501423-0-3P 765953-27-3P 765953-28-4P
765953-29-5P 765953-30-8P 765953-31-9P
765953-32-0P 765953-33-31-P 765953-31-5P
765953-38-6P 765953-33-9P 765953-37-5P
765953-38-6P 765953-39-3P 765953-40-0P
765953-41-1P 765953-30-2P 765953-43-3P
765953-41-1P 765953-50-2P 765953-43-3P
765953-65-7P 765953-64-8P 765953-65-9P
765953-70-6P
RL: PRC (Pharmacological activity); SPN (Synthetic preparation); USES
(Uses)

(Uses)
(preparation of novel pyrazole derivs. with high affinities and/or selectivities for the CBl cannabinoid receptor)
RN 501423-34-3 CAPJUS
CN 1H-Pyrazole-3-carboxamide,
1-(2,4-dichlorophenyl)-4-methyl-N-1-piperidinyl5-[4-(3-pyridinyl)phenyl)- (9CI) (CA INDEX NAME)

ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

501423-47-8 CAPLUS
1N-Pyrazole-3-carboxamide, N-cyclohexyl-1-{2,4-dichlorophenyl}-4-(methoxymethyl)-5-{4-{3-thienyl}phenyl}- (9CI) (CA INDEX NAME)

1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4-(methoxymethyl)-5-(4-(3-pyridinyl)phenyl)- (9CI) (CA INDEX NAME)

### 05/28/2007

ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

501423-35-4 CAPLUS 1H-Pyrazole-3-carbo IH-Pyrazole-3-carboxamide, 1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-N-1-piperidinyl-5-[4-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

501423-36-5 CAPLUS
1H-Pyrazole-3-carboxamide, 1-{2,4-dichlorophenyl}-4-{fluoromethyl}-N-1-piperidinyl-5-{4-{3-pyridinyl}phenyl}- (9CI) {CA INDEX NAME}

ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

501423-49-0 CAPLUS
1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(methoxymethyl)-5-[4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

501423-50-3 CAPLUS
1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(methoxymethyl)-5-[4-(2-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-27-3 CAPLUS
CN 1H-Pyrezole-3-carboxamide,
1-(2,4-dichlorophenyl)-4-methyl-N-1-piperidinyl5-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 765953-28-4 CAPLUS
CN 1H-Pyrazole-3-carboxamide,
1-(2,4-dichlorophenyl)-4-methyl-5-[4-(1-methyl-1H-tetrazol-5-yl)phenyl]-N-1-piperidinyl- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-31-9 CAPLUS
CN | 1H-Pyrazole-3-carboxamide, 1-{2,4-dichlorophenyl}-4-{hydroxymethyl}-5-{4-(1-methyl-1H-tetrazol-5-yl)phenyl}-N-1-piperidinyl- (9CI) (CA INDEX NAME)

RN 765953-32-0 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-5-[4-(2-methyl-2H-tetrazol-5-yl)phenyl]-N-1-piperidinyl- (9CI) (CA INDEX

05/28/2007

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-29-5 CAPLUS
CN 1H-Pyrazole-3-carboxamide,
1-(2,4-dichlorophenyl)-4-methyl-5-[4-(2-methyl-2H-tetrazol-5-yl)phenyl)-N-1-piperidinyl- (9CI) (CA INDEX NAME)

RN 765953-30-8 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-N-1-piperidinyl-5-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-33-1 CAPLUS
CN 1H-Pyrazole-3-carboxamide,
N-cyclohexyl-1-(2,4-dichlorophenyl)-4-methyl-5[4-(1-methyl-1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 765953-34-2 CAPLUS
CN 1H-Pyrazole-3-carboxamide,
N-cyclohexyl-1-(2, 4-dichlorophenyl)-4-methyl-5{4-(2-methyl-2H-tetrazol-5-yl)phenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-35-3 CAPLUS
CN 1N-Fyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(hydroxymethyl)-5-(4-(1H-tetrazol-5-yl)phenyl)- (SCI) (CA INDEX NAME)

RN 765953-36-4 CAPLUS

(N-cyclohexyl-1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-5-[4-(1-methyl-1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-39-7 CAPLUS
CN IN-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-N,4-dimethyl-5-[4-(1-methyl-1H-tetrazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 765953-40-0 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-N,4-dimethyl-5-(4-(2-methyl-2H-tetrazol-5-yl)phenyl)- (9CI) (CA INDEX NAME)

05/28/2007

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-37-5 CAPLUS
CN 1H-Pyrezole-3-carboxamide, N-cyclohexyl-1-{2,4-dichlorophenyl}-4(hydroxymethyl)-5-{4-(2-methyl-2H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 765953-38-6 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-N,4-dimethyl-5-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-41-1 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-N-methyl-5-[4-(lH-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAKE)

RN 765953-42-2 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(hydroxymethyl)-N-methyl-5-[4-(1-methyl-1H-tetrazol-5-yl)phenyl)- (9CI)
(CA INDEX NAME)

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-49-9 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-{2,4-dichlorophenyl}-4-(hydroxymethyl)-N-methyl-5-[4-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued

RN 765953-63-7 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 1-[2-chloro-4-(3-pyridinyl)phenyl]-4[hydroxymethyl)-N-1-piperidinyl-5-[4-(3-pyridinyl)phenyl]- (9CI) (CA
INDEX NAME)

RN 765953-64-8 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 1-[2-chloro-4-(3-pyridinyl)phenyl]-4-(hydroxymethyl)-N-1-piperidinyl-5-[4-(3-pyridinyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

05/28/2007

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-50-2 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(hydroxymethyl)-N-methyl-5-(4-(4-pyridinyl)phenyl)- (9CI) (CA INDEX
NAME)

RN 765953-51-3 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-{2,4-dichlorophenyl}-n,4-dimethyl-5-[4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

●2 HC1

RN 765953-65-9 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-N-1-piperidinyl-5-[4-(3-pyridinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 765953-70-6 CAPLUS
CN 1H-Pyrazole-3-carboxamide,
N-cyclohexyl-1-(2, 4-dichlorophenyl)-4-methyl-5[4-(1H-tetrazol-5-yl)phenyl)- (9CI) (CA INDEX NAME)

#### 05/28/2007

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:202423 CAPLUS
DOCUMENT NUMBER: 138:238177
Preparation of 1H-pyrazole-3-carboxamides as cannabinoid receptor stimulators
Makriyannis, Alexandros; Liu, Qian
University of Connecticut, USA
SOURCE: PATENT ASSIGNEE(S): University of Connecticut, USA
CODENT TYPE: Patent
LANGUAGE: Patent
English DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English

PATENT NO. KIND DATE APPLICATION NO. DATE 077 A2 20040526 AT, BE, CH, DE, DK, ES, FR, IE, SI, LT, LV, FI, RO, MX, 507875 T 20050324 192667 A1 20040930 100208 A1 20060513 030563 A1 20060209 GB, GR, IT, LI, LU, NL, SE, MC, PT,
CY, AL, TR, BG, CZ, EE, SK
JP 2003-524531
US 2004-790498
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US 2005-244770
US 2005-244770
20051006
US 2001-316515P
P 20010831 JP 2005507875 2004192667 US 2004192667 US 2006100208 US 2006030563 PRIORITY APPLN. INFO.: US 1999-159993P WO 2000-US41239 W 20001018 WO 2002-US27644 20020829 US 2002-110865 🗸 A2 20021021 US 2004-790498 / A2 20040301

OTHER SOURCE(S): MARPAT 138:238177

ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

AB Title cannabimimetic pyrazole analogs I (wherein R1 = (CH2)nZ; or R1 = carbocyclic, heterocyclic, or (hetero)aromatic ring fused to a heterocyclic

or heteroarom. ring; n = 0-7; Z and R3 = H, halo, N3, NCS, CN, NO2, NX1X2,

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., 033, OAc, acyloxy, aroyloxy, O(CH2)nOH, O(CH2)nNX1X2, acylamino, aroylamino, CHO, CF3, CO2X3, SO3H, SO2NX1X2, CONX1X2, alkoxy, alkylmærcapto, or (di)alkylamino; or Z = (un)substituted carbocyclyl, heterocyclyl, (hetero and

X2 = independently H or alkyl; or NX1X2 = heterocyclyl or imide ring; X3

H, (hydroxy)alkyl, or alkyl-NX1X2; n = 0-6; R2 = (un)substituted carbocyclyl, heterocyclyl, or (hetero)aryl; or R2 = carbocyclic, heterocyclic, or (hetero)aromatic ring fused to a heterocyclic or Carbon.

neteroarom.
ring; or R3 = Ph, alkyl, OH, alkylsulfinyl, alkylsulfonyl,
heterobicyclyl,
(heterotricyclyl, CH2Z, etc.: R4 = (CH2)nZ, C6H4-(CH2)nZ, etc.: R5 = H

(un)substituted alkyl; with provisos) were prepared For example, cyclocondensation of the lithium salt of Et 2,4-dioxo-3-methyl-4-{4-bromophenyl}butanoate with 2,4-dichlorophenylhydrazine-HCl gave

1-(2,4-dichlorophenyl)-4-methyl-5-(4-bromophenyl)-1H-pyrazole-3-carboxylic acid Et ester. Bromination with N-bromosuccinimide, conversion to the alc. using AgNO3 in aqueous acetone, amidation with 1-aminopiperidine in

presence of AlCl3, and Suzuki coupling with di-Et (3-pyridyl)borane afforded II. I exhibited CBl and CB2 cannabinoid receptor binding affinities with Ki values in the range of 1.51-63.1 and 5.81-2312, resp Some invention compds. showed CBl selectivity ranging from 2-452, while

ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) others showed CB2 selectivity ranging from 1-4. Thus, I are useful for the treatment of central nervous system disorders (no data). 501423-35-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic uses) BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (cannabinoid receptor stimulator; preparation of pyrazolecarboxamides

cannabinoid receptor stimulators for treatment of CNS disorders)
501423-35-4 CAPLUS
HR-Pyrazole-3-carboxamide, 1-{2,4-dichlorophenyl}-4-{hydroxymethyl}-N-1-piperidinyl-5-{4-(3-pyridinyl)phenyl}- (9CI) (CA INDEX NAME)

501423-34-3P 501423-36-5P 501423-47-8P 501423-48-9P 501423-49-0P 501423-50-3P RL: PAC (Phermacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(cannabinoid receptor stimulator; preparation of pyrazolecarboxamides

as cannabinoid receptor stimulators for treatment of CNS disorders)
RN 501423-34-3 CAPLUS
CN lH-Pyrazole-3-carboxamide,
1-(2,4-dichlorophenyl)-4-methyl-N-1-piperidinyl5-[4-(3-pyridinyl)phenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 501423-36-5 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 1-[2,4-dichlorophenyl)-4-(fluoromethyl)-N-1-piperidinyl-5-[4-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 501423-47-8 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(methoxymethyl)-5-[4-(3-thienyl)phenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 501423-50-3 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(methoxymethyl)-5-(4-(2-pyridinyl)phenyl)- (9CI) (CA INDEX NAME)

05/28/2007

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 501423-48-9 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4-(methoxymethyl)-5-[4-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 501423-49-0 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(methoxymethyl)-5-[4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

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LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 22.02 194.33

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE -3.12 -3.12

STN INTERNATIONAL LOGOFF AT 18:53:53 ON 28 MAY 2007